

STIC Search Report Biotech-Chem Library

STIC Database Tracking Number 1

TO: Satayanarayana Gudibande

Location: REM-3C04&3C18

Art Unit: 1654

Wednesday, November 16, 2005

Case Serial Number: 10/030944

From: Mary Hale

Location: Biotech/Chem Library

Rem 1D86 Phone: 2-2507

Mary.Hale@uspto.gov

Search Notes

Feel free to contact me if you have any questions.

Note -- results are printed on both sides of printout



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Page 1

Dudib ande 10/0 30944

=> fil reg
COST IN U.S. DOLLARS

SINCE FILE TOTAL
ENTRY SESSION
0.63 0.63

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 12:08:55 ON 16 NOV 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2005 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4 DICTIONARY FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

gg/sqep
RGDLDALRG/SQEP
RGDLDALRGG/SQEP
> RGDLDALRGGG/SQEP
RGDLDALRGGGG/SQEP
RGDLDALRGGGGG/SQEP
RGDLDALRGGGGGG/SQEP
RGDLDAVKGIPFYKGSRA/SQEP
RGDLDGLR/SQEP
RGDLDGLRGGG/SQEP
RGDLDSLR/SQEP
RGDLEPLAARVAGR/SQEP
RGDLFAVDTC/SQEP
RGDLDALRGGG/SQEP
SQL=11
(RGDLDALRGGG)/SQEP

(RGDLDALRGGG/SQEP AND SQL=11)

=> d 1-2 sqide can

L1 ANSWER 1 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-74-8 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRGDL D

HITS AT: 1-6, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H73 N17 O15

SR CA

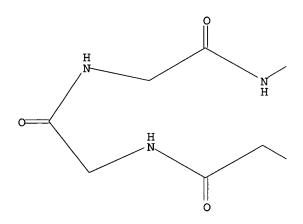
LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-C

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L1 ANSWER 2 OF 2 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-58-8 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRGDL D

Page 4

HITS AT: 1-6, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H73 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$(CH_2)_3$$

$$NH_2$$

$$H$$

$$NH_2$$

$$NH_1$$

$$NH_2$$

$$NH_2$$

$$NH_3$$

$$NH_4$$

$$NH_2$$

$$NH_2$$

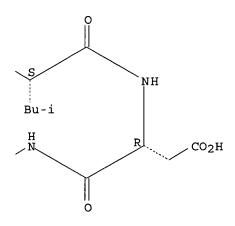
$$NH_2$$

$$NH_2$$

$$NH_3$$

$$NH_4$$

$$NH_2$$



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

=> fil medl, biosis, embase, caplus; s l1

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY 20.47 SESSION 21.10

FILE 'MEDLINE' ENTERED AT 12:09:57 ON 16 NOV 2005

FILE 'BIOSIS' ENTERED AT 12:09:57 ON 16 NOV 2005

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FILE 'CAPLUS' ENTERED AT 12:09:57 ON 16 NOV 2005

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L2 0 FILE MEDLINE
L3 0 FILE BIOSIS
L4 0 FILE EMBASE
L5 1 FILE CAPLUS

TOTAL FOR ALL FILES L6 1 L1

=> d ibib abs hitstr

L6 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005-ACS-on-STN /

ACCESSION NUMBER:

2001:45035 CAPLUS

DOCUMENT NUMBER:

134:86549

TITLE:

Preparation of cyclic peptides for use as inhibitors

of integrin $\alpha v \beta 6$ Jonczyk, Alfred; Diefenbach, Beate; Goodman, Simon INVENTOR(S): PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany Ger. Offen., 20 pp. SOURCE: CODEN: GWXXBX DOCUMENT TYPE: Patent German LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: KIND DATE PATENT NO. APPLICATION NO. _____ ---------DE 19933173 A1 20010118 DE 1999-19933173 19990715 AA 20010125 CA 2000-2379022 20000703 CA 2379022 A2 20010125 WO 2000-EP6188 20000703 WO 2001005810 WO 2001005810 A2 WO 2001005810 A3 20010517 W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG BR 2000-12418 BR 2000012418 Α 20020326 20000703 EP 2000-943971 EP 1196433 A2 20020417 20000703 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO JP 2003505395 T2 20030212 JP 2001-511467 20000703 AU 772782 B2 20040506 AU 2000-58236 20000703 NO 2002000176 Α 20020114 NO 2002-176 20020114 ZA 2002001275 Α 20030822 ZA 2002-1275 20020214 PRIORITY APPLN. INFO.: DE 1999-19933173 A 19990715 WO 2000-EP6188 W 20000703 MARPAT 134:86549 OTHER SOURCE(S): Title compds. cyclo(Arg-X1-Asp-X2-X3-X4-X5-X6-R1) [(I); X1 = Ser, Gly, Thr; X2 = Leu, Ile, Nle, Val, Phe; X3 = Asp, Glu, Lys, Phe; X4 = Gly, Ala, Ser; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys; R1 = absent, one or more ω -amino-carboxy acid residues; all amino acids may be either Dor L-configuration] were prepared using solid-phase peptide synthesis and tested for activity as integrin ανβ6 inhibitors for therapeutic use. Thus thirty-three I compds. were synthesized on chlorotritylpolystyrol resin and tested for their binding capacities with the $\alpha v \beta 6$ fibronectin receptor. Q-values for the tests (Q = IC50 I/IC50 reference peptide) (reference peptide = Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-NH2; 75 nM) ranged from 233 to 0.014. 317366-58-8P 317366-74-8P IT RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of cyclic peptides for use as inhibitors of integrin $\alpha v\beta 6$ in treatment of) RN317366-58-8 CAPLUS CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-Lα-aspartyl-L-leucyl-D-α-aspartyl) (9CI) (CA INDEX NAME)

$$(CH_2)_3$$

$$NH_1$$

$$NH_2$$

$$NH_2$$

$$NH_3$$

$$NH_4$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

RN 317366-74-8 CAPLUS Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

=> fil reg COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 8.06 29.16 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL **ENTRY** SESSION CA SUBSCRIBER PRICE -0.73 -0.73

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Page 10
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STRUCTURE FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4 DICTIONARY FILE UPDATES: 15 NOV 2005 HIGHEST RN 868125-94-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

```
=> s r[sgt]d[lixvf][dekf][gas][lixvf][rxk]/sqsp
           294 R[SGT]D[LIXVF][DEKF][GAS][LIXVF][RXK]/SQSP
=> s 17(1)(cyclo or cyclic)
       3747558 CYCLO
            38 CYCLOS
       3747558 CYCLO
                  (CYCLO OR CYCLOS)
         94190 CYCLIC
L8
             0 L7(L)(CYCLO OR CYCLIC)
=> s 17(1) (d or 1)
       7686897 D
       1934043 L
             0 L7(L)(D OR L)
L9
=> e rgdldglrggg/sqep 5
                   RGDLDAVKGIPFYKGSRA/SQEP
E1
             1
E2
                   RGDLDGLR/SQEP
E3
             2 --> RGDLDGLRGGG/SQEP
E4
                  RGDLDSLR/SQEP
E5
                   RGDLEPLAARVAGR/SQEP
=> s e3;e rqdlaalrqqq/sqep 5
             2 RGDLDGLRGGG/SQEP
```

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

85211 SQL=11

```
Page 11
             2 (RGDLDGLRGGG) / SOEP
L10
                  (RGDLDGLRGGG/SQEP AND SQL=11)
                    RGDLAAIVQRLSNRL/SOEP
E1
             1
                   RGDLAAIVQRLSNRLC/SQEP
E2
             1
E3
             1 --> RGDLAALRGGG/SQEP
E4
             1
                   RGDLAALSAPPV/SQEP
E5
             1
                    RGDLAFRDDSIWPQEEPAIRPRSSQRVLPMGIQHSKELNRTCCLNGGACMLESFCACPPS
                    FYGRNCEHDVRKENCGSVPHDTWLPKKCSLCKCWHGQLRCFPQAFLPGCDGLVMDEHLVA
                    SRTPELPPS/SQEP
=> s e3;e rtdldalrggg/sqep 5
             1 RGDLAALRGGG/SQEP
         85211 SQL=11
             1 (RGDLAALRGGG)/SOEP
L11
                  (RGDLAALRGGG/SQEP AND SQL=11)
E1
             2
                   RTDLDALR'OAA'/SQEP
E2
             2
                   RTDLDALR'OAA-OAA'/SQEP
               --> RTDLDALRGGG/SQEP
E3
             2
E4
             2
                   RTDLDGLR/SQEP
E5
             2
                   RTDLDGLRGGG/SQEP
=> s e3;e rgdldalrxx/sqep 5
             2 RTDLDALRGGG/SQEP
         85211 SQL=11
L12
             2 (RTDLDALRGGG)/SQEP
                  (RTDLDALRGGG/SQEP AND SQL=11)
E1
                   RGDLDALRGGGGG/SQEP
             1
E2
             1
                   RGDLDALRGGGGGG/SQEP
E3
             0
               --> RGDLDALRXX/SQEP
E4
             1
                   RGDLDAVKGIPFYKGSRA/SQEP
E5
             1
                   RGDLDGLR/SQEP
=> e rgdldalr/sqep 5
E1
                   RGDLCQEWASGCNTRCRGHHRQPCTHL/SQEP
             1
E2
             1
                   RGDLD'BAL'LR/SOEP
E3
             2
               --> RGDLDALR/SQEP
E4
             1
                   RGDLDALR'BAL-BAL'/SQEP
E5
             3
                   RGDLDALR'OAA'/SQEP
=> s e3
             2 RGDLDALR/SQEP
         66848 SOL=8
L13
             2 (RGDLDALR)/SQEP
                  (RGDLDALR/SQEP AND SQL=8)
=> e rtdldalr/sqep 5
                   RTDLD'BAL'LR/SQEP
E1
             1
E2
             1
                   RTDLD'OAA'LR/SQEP
E3
             2
               --> RTDLDALR/SQEP
E4
             2
                   RTDLDALR'OAA'/SQEP
E5
             2
                   RTDLDALR'OAA-OAA'/SQEP
=> s e3
             2 RTDLDALR/SQEP
```

```
Page 12
        66848 SQL=8
L14
           2 (RTDLDALR)/SQEP
               (RTDLDALR/SQEP AND SQL=8)
=> e rtdldalra/sqep 5
      2 RTDLDALR'OAA'/SQEP
E2
               RTDLDALR'OAA-OAA'/SOEP
           0 --> RTDLDALRA/SOEP
E3
           2 RTDLDALRGGG/SQEP
2 RTDLDGLR/SQEP
E4
E5
=> e rgdldalra/sqep 5
                RGDLDALR'OAA'/SQEP
E1
           3
                RGDLDALR'OAA-OAA'/SQEP
E2
E3
           0 --> RGDLDALRA/SOEP
E4
           2 RGDLDALRG/SQEP
                RGDLDALRGG/SQEP
E5
=> s l10 or l11 or l12 or l13 or l14
           9 L10 OR L11 OR L12 OR L13 OR L14
=> d 1-9 sqide can; fil caplus; s 115
L15 ANSWER 1 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN
    527744-99-6 REGISTRY
    L-Argininamide, N2-acetyl-L-arginylglycyl-L-α-aspartyl-L-leucyl-D-
CN
    α-aspartyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)
    PROTEIN SEQUENCE; STEREOSEARCH
SOL 8
NTE modified
______
       ----- location ----- description
```

SEQ 1 RGDLDALR

terminal mod. Arg-1

HITS AT: 1-8

terminal mod.

MF C39 H69 N15 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Conference

Arq-8

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

- N-acetyl - C-terminal amide

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:385705

L15 ANSWER 2 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-75-9 REGISTRY

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 RGDLDGLRGG G

HITS AT: 1-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C42 H71 N17 O15

Page 14

SR CA

STN Files: CA, CAPLUS LC

DT.CA CAplus document type: Patent

Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

ANSWER 3 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN L15

317366-73-7 REGISTRY RN

 $\texttt{Cyclo}(\texttt{L-arginylglycyl-L-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartylglycyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-D-}\alpha-\texttt{aspartyl-L-leucyl-D-}\alpha-\texttt{aspartyl-D-}\alpha-\texttt{as$ CN L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

Page 15

SQL 11 NTE cyclic

SEQ 1 RGDLDGLRGG G

HITS AT: 1-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C42 H71 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 4 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-67-9 REGISTRY

CN Cyclo(D-alanyl-L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-Larginylglycyl-L-α-aspartyl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 AALRGGGRGD L

HITS AT: 1-7, 8-11 MF C42 H73 N17 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 5 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-63-5 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRTDL D

HITS AT: 1-6, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C45 H77 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-A

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 6 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-61-3 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRTDL D

HITS AT: 1-6, 7-11

Page 19

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C45 H77 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

Absolute stereochemistry.

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 7 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN RN 317366-53-3 REGISTRY

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Page 20
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CNCyclo (L-alanyl-L-leucyl-L-arginyl-L-arginylglycyl-L-α-aspartyl-Lleucyl-D-α-aspartyl) (9CI) (CA INDEX NAME) PROTEIN SEQUENCE; STEREOSEARCH FS SQL NTE cyclic SEQ 1 ALRRGDLD _====== HITS AT: 1-3, 4-8 C37 H64 N14 O12 MF SR CA LCSTN Files: CA, CAPLUS DT.CA CAplus document type: Patent Roles from patents: BIOL (Biological study); PREP (Preparation); USES RL.P (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 8 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN RN 317366-50-0 REGISTRY Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-three

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 ALRRTDLD ====== HITS AT: 1-3, 4-8 MF C39 H68 N14 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

$$H_{2N}$$
 H_{2N}
 H

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L15 ANSWER 9 OF 9 REGISTRY COPYRIGHT 2005 ACS on STN

RN 313246-44-5 REGISTRY

CN L-Argininamide, N2-acetyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE modified

type ----- location ----- description

terminal mod. Arg-1 - N-acetyl
terminal mod. Arg-8 - C-terminal amide

SEQ 1 RTDLDALR ======

HITS AT: 1-8

MF C41 H73 N15 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-A

$$H_{2}N$$
 $H_{2}N$
 $H_{2}N$
 $H_{3}N$
 $H_{4}N$
 $H_{5}N$
 $H_{5}N$
 $H_{5}N$
 $H_{6}N$
 $H_{7}N$
 H

$$H_2N$$
 H_2N
 $CH_2)_3$
 S
 H
 O

PAGE 2-A

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:42449

COST IN U.S. DOLLARS SINCE FILE TOTAL ENTRY SESSION FULL ESTIMATED COST 141.87 171.03 DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE TOTAL ENTRY SESSION CA SUBSCRIBER PRICE 0.00 -0.73

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FILE COVERS 1907 - 16 Nov 2005 VOL 143 ISS 21 FILE LAST UPDATED: 15 Nov 2005 (20051115/ED)

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http://www.cas.org/infopolicy.html

L16 3 L15

=> d 1-3 ibib abs hitseq

L16 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2002:692564 CAPLUS

DOCUMENT NUMBER:

Linear and cyclic peptides for integrin TITLE:

138:385705

ανβ6 inhibition

Zischinsky, Gunther; Groth, Ulrich; Diefenbach, Beate; AUTHOR (S):

Jonczyk, Alfred

CORPORATE SOURCE:

SOURCE:

Faculty of Chemistry, University of Konstanz, Germany Peptides: The Wave of the Future, Proceedings of the Second International and the Seventeenth American Peptide Symposium, San Diego, CA, United States, June 9-14, 2001 (2001), 733-734. Editor(s): Lebl, Michal; Houghten, Richard A. American Peptide Society: San

Diego, Calif.

CODEN: 69DBAL; ISBN: 0-9715560-0-8 Conference

DOCUMENT TYPE:

LANGUAGE:

English

A symposium report. Integrin $\alpha\nu\beta6$ inhibitory activity of peptides was enhanced by cyclization, which increased rigidity and proteolytic stability. The best linear derivative was the non-RGD peptide Ac-TRDLdSLR-NH2. Selected cyclic peptides proved to be stable in human blood plasma with half life-times of more than 30 h.

527744-99-6P IT

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)

(linear and cyclic peptides as inhibitors of integrin $\alpha v\beta 6$)

527744-99-6 CAPLUS RN

L-Argininamide, N2-acetyl-L-arginylglycyl-L-α-aspartyl-L-leucyl-D-CN α-aspartyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)

modified NTE

1 RGDLDALR SEQ

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

13/118/53

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:45035 CAPLUS

DOCUMENT NUMBER: 134:86549

TITLE: Preparation of cyclic peptides for use as inhibitors

of integrin $\alpha v\beta 6$

INVENTOR(S): Jonczyk, Alfred; Diefenbach, Beate; Goodman, Simon

PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany

SOURCE: Ger. Offen., 20 pp.

CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 19933173	A1	20010118	DE 1999-19933173	19990715
CA 2379022	AA	20010125	CA 2000-2379022	20000703
WO 2001005810	A2	20010125	WO 2000-EP6188	20000703

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WO 2001005810
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                CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
           RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
      BR 2000012418
                                         20020326
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                                 Α
      EP 1196433
                                         20020417
                                                        EP 2000-943971
                                                                                      20000703
                                 A2
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                IE, SI, LT, LV, FI, RO
                                         20030212
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      JP 2003505395
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                                 Α
                                                                                      20020114
                                         20030822
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PRIORITY APPLN. INFO.:
                                                        DE 1999-19933173
                                                                                  Α
                                                                                      19990715
                                                        WO 2000-EP6188
                                                                                  W
                                                                                      20000703
OTHER SOURCE(S):
                                MARPAT 134:86549
      Title compds. cyclo(Arg-X1-Asp-X2-X3-X4-X5-X6-R1) [(I); X1 = Ser, Gly,
      Thr; X2 = Leu, Ile, Nle, Val, Phe; X3 = Asp, Glu, Lys, Phe; X4 = Gly, Ala, Ser; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys; R1 = absent, one or
      more \omega-amino-carboxy acid residues; all amino acids may be either D-
      or L-configuration] were prepared using solid-phase peptide synthesis and
      tested for activity as integrin \alpha v \beta 6 inhibitors for therapeutic
      use. Thus thirty-three I compds. were synthesized on chlorotrityl-
      polystyrol resin and tested for their binding capacities with the
      \alpha v \beta 6 fibronectin receptor. Q-values for the tests (Q = IC50 I/IC50 reference peptide) (reference peptide =
Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-
      NH2; 75 nM) ranged from 233 to 0.014.
      317366-50-0P 317366-53-3P 317366-61-3P
IT
      317366-63-5P 317366-67-9P 317366-73-7P
      317366-75-9P
      RL: BAC (Biological activity or effector, except adverse); BSU (Biological
      study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
      BIOL (Biological study); PREP (Preparation); USES (Uses)
          (preparation of cyclic peptides for use as inhibitors of integrin
          \alpha v\beta 6 in treatment of)
RN
      317366-50-0 CAPLUS
      Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-threonyl-L-\alpha-aspartyl-
      L-leucyl-D-\alpha-aspartyl) (9CI) (CA INDEX NAME)
NTE
     cyclic
SEQ
           1 ALRRTDLD
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RN 317366-53-3 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRRGDLD

RN 317366-61-3 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRTDL D

RN 317366-63-5 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRTDL D

PAGE 1-A

PAGE 1-B

RN 317366-67-9 CAPLUS

CN Cyclo(D-alanyl-L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L-α-aspartyl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 AALRGGGRGD L

RN 317366-73-7 CAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGDLDGLRGG G

PAGE 1-A

PAGE 1-B

RN 317366-75-9 CAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGDLDGLRGG G

PAGE 1-A

PAGE 1-B

L16 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:

2000:909678 CAPLUS

DOCUMENT NUMBER:

134:42449

TITLE:

Synthesis of peptide inhibitors of integrin

ανβ6

INVENTOR(S):

Jonczyk, Alfred; Diefenbach, Beate; Groth, Ulrich;

Zischinsky, Gunther

PATENT ASSIGNEE(S):

Merck Patent G.m.b.H., Germany

SOURCE:

Ger. Offen., 34 pp. CODEN: GWXXBX

DOCUMENT TYPE:

Patent

LANGUAGE:

German

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

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     CA 2377224
                         AA
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     WO 2001000660
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                                            WO 2000-EP5404
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             CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
             ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
             LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
             ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
             CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
     EP 1189930
                         A1 20020327 EP 2000-949177
                                                                   20000613
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                                20020225
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     ZA 2002000673
                         Α
                                20030424
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                                                                   20020124
PRIORITY APPLN. INFO.:
                                            DE 1999-19929410
                                                              A 19990626
                                            WO 2000-EP5404
                                                                W 20000613
                         MARPAT 134:42449
OTHER SOURCE(S):
     The invention describes the solid-phase synthesis of peptides
     H3CC(0) - Arg - X1 - Asp - X2 - X3 - X4 - X5 - X6 - NH2 [(I); X1 = Ser, Gly, Thr, Asp, Arg,
     Val, Tyr, His or Ala; X2 = Leu, Ile, Nle, Val or Phe; X3 = Asp, Glu, Lys,
     Phe, Aib, Nal, Gly, Ala, Bgl or Phg; X4 = Gly, Ala, Ser, \betaAla or
     ωAbu; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys, Leu, Orn,
     Phe, Ala, Tyr, Gly, Ser or Asp] for use as inhibitors of \alpha \nu \beta 6
     integrin in the treatment of disease. Thus I [X1 = Gly; X2 = Leu; X3 =
     D-Asp; X4 = Ser; X5 = Leu; X6 = Arq (II)] was synthesized using
     solid-phase techniques. In in vitro binding tests, using peptide
     H3CC(O)-Arq-Thr-Asp-Leu-Asp-Ser-Leu-Arq-NH2 as standard, II had Q-value (IC50
     test peptide/IC50 standard) 0.15 at 75 nM.
     313246-44-5P
ΙT
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of peptide inhibitors of integrin ανβ6 for treatment
        of disease)
     313246-44-5 CAPLUS
RN
     L-Argininamide, N2-acetyl-L-arginyl-L-threonyl-L-α-aspartyl-L-leucyl-
CN
     L-\alpha-aspartyl-L-alanyl-L-leucyl- (9CI) (CA INDEX NAME)
NTE
    modified
SEQ
         1 RTDLDALR
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PAGE 1-A

$$H_{2}N$$
 $H_{2}N$
 H_{2

PAGE 2-A

=> dis his

(FILE 'HOME' ENTERED AT 12:06:59 ON 16 NOV 2005)

FILE 'REGISTRY' ENTERED AT 12:08:55 ON 16 NOV 2005

E RGDLDALRGGG/SQEP

L1 2 S E3

FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 12:09:57 ON 16 NOV 2005

O FILE MEDLINE

L2L3 O FILE BIOSIS

L4O FILE EMBASE

L5 1 FILE CAPLUS

TOTAL FOR ALL FILES

L6 1 S L1

FILE 'REGISTRY' ENTERED AT 12:10:19 ON 16 NOV 2005 L7 294 S R[SGT]D[LIXVF][DEKF][GAS][LIXVF][RXK]/SQSP

Page 34

$^{\text{L8}}$		0	S	L7(L)(CYCLO OR CYCLIC)
L9		0	s	L7(L)(D OR L)
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L10		2	s	E3
			Е	RGDLAALRGGG/SQEP 5
L11		1	s	E3
			E	RTDLDALRGGG/SQEP 5
L12		2	s	E3
			\mathbf{E}	RGDLDALRXX/SQEP 5
			E	RGDLDALR/SQEP 5
L13		2	S	E3
			E	RTDLDALR/SQEP 5
L14		2	S	E3
			E	RTDLDALRA/SQEP 5
			Ε	RGDLDALRA/SQEP 5
L15		9	S	L10 OR L11 OR L12 OR L13 OR L14
	FILE	'CAPLU	JS '	' ENTERED AT 12:16:33 ON 16 NOV 2005
T.16		3	C	7.15

L16 3 S L15

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	28.86	199.89
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL SESSION
CA SUBSCRIBER PRICE	-2.19	-2.92

STN INTERNATIONAL LOGOFF AT 12:17:14 ON 16 NOV 2005

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Page 1
=> s r[sgt]d[lixvf][dekf][gas][lixvf][rxk]/sqsp
          296 R[SGT]D[LIXVF][DEKF][GAS][LIXVF][RXK]/SQSP
=> s cyclic/nte
        27096 CYCLIC/NTE
=> s l1 and l2
L3
           30 L1 AND L2
=> d 1-30 sqide can
L3
     ANSWER 1 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN
     317366-80-6 REGISTRY
RN
CN
     Cyclo(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-4-aminobutanoyl-L-
     arginyl-L-threonyl-L-\alpha-aspartyl-L-leucyl-D-\alpha-aspartyl) (9CI)
     (CA INDEX NAME)
FS
     PROTEIN SEQUENCE; STEREOSEARCH
SOL 10
NTE cyclic
                                               description
type
uncommon
                0aa-4
                0aa-5
uncommon
         1 ALRXXRTDLD
SEQ
           === ====
HITS AT:
          1-3, 6-10
**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
    C47 H82 N16 O15
     CA
SR
LC
     STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
       Roles from patents: BIOL (Biological study); PREP (Preparation); USES
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Absolute stereochemistry.

(Uses)

```
Me OH S (CH<sub>2</sub>) 3 NH NH<sub>2</sub>
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

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L3 ANSWER 2 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN
```

RN 317366-79-3 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-6-aminohexanoyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10 NTE cyclic

type ----- location ----- description

SEQ 1 ALRXXRTDLD === ====

HITS AT: 1-3, 6-10

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C51 H90 N16 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

ANSWER 3 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN L3RN317366-78-2 REGISTRY CN ${\tt Cyclo}\,(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-L-arginylglycyl-L-arginyll-L-arginyll-L-arginyll-L-arginyll-L-arginyll-L-arginyll-L-arginyll-L-arginy$ α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME) PROTEIN SEQUENCE; STEREOSEARCH FS SQL NTE cyclic type ----- location ----description uncommon Oaa-4

SEQ 1 ALRXRGDLD === ===== HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

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C41 H71 N15 O13
LC
    STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
      Roles from patents: BIOL (Biological study); PREP (Preparation); USES
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H_2N-C
     -NH-(CH<sub>2</sub>)<sub>3</sub>
                          i-Bu
                                   CH2-CO2H
                              0
                  0
                          Me
         NH
                  i-Bu
     H_2N-C-NH-(CH_2)_3
             1 REFERENCES IN FILE CA (1907 TO DATE)
             1 REFERENCES IN FILE CAPLUS (1907 TO DATE)
          1: 134:86549
REFERENCE
L3
    ANSWER 4 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN
RN
    317366-77-1 REGISTRY
    Cyclo(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-L-arginyl-L-threonyl-L-
CN
    \alpha-aspartyl-L-leucyl-D-\alpha-aspartyl) (9CI) (CA INDEX NAME)
    PROTEIN SEQUENCE; STEREOSEARCH
FS
SQL
NTE cyclic
______
type
              ----- location -----
                                        description
______
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uncommon
______
SEQ
       1 ALRXRTDLD
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HITS AT:
         1-3, 5-9
**RELATED SEQUENCES AVAILABLE WITH SEQLINK**
MF
    C43 H75 N15 O14
SR
    CA
    STN Files: CA, CAPLUS
DT.CA CAplus document type: Patent
      Roles from patents: BIOL (Biological study); PREP (Preparation); USES
RL.P
      (Uses)
```

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

PAGE 1-A

$$i-Bu$$

$$H_2N$$

$$O$$

$$NH$$

$$S$$

$$H$$

$$N$$

$$H$$

$$O$$

$$Me$$

$$O$$

$$CH_2)_3$$

$$H$$

$$N$$

$$N$$

$$H$$

$$R$$

$$CO_2H$$

PAGE 1-B

$$H_2N$$
 H
 $CCH_2)$ 3
 OH
 R
 H
 R
 Me
 H
 S
 OH
 R
 R
 Me

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

ANSWER 5 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN L3

RN 317366-76-0 REGISTRY

 ${\tt Cyclo}\,({\tt L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-L-arginyl-L-threonyl-L-threonyl-$ CN α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 9

NTE cyclic

----- location ----description Oaa-4 uncommon

SEQ 1 ALRXRTDLD === =====

HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C45 H79 N15 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

$$H_2N$$
 H_1
 H_2N
 H_1
 H_2N
 H_1
 H_2N
 H_2N
 H_1
 H_2N
 H_2N

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 6 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-75-9 REGISTRY

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11 NTE cyclic

SEQ 1 RGDLDGLRGG G

=======

HITS AT: 1-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C42 H71 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 7 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-74-8 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRGDL D === ==== = HITS AT: 1-3, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H73 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

PAGE 1-C

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 8 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-73-7 REGISTRY

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 RGDLDGLRGG G

======

HITS AT: 1-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C42 H71 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 9 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-72-6 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 13

NTE cyclic

SEQ 1 ALRGGGGGRG DLD

HITS AT: 1-3, 9-13 MF C47 H79 N19 O17

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-C

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 10 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-71-5 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycyl-L-arginylglycyl-

 $L-\alpha$ -aspartyl-L-leucyl- $D-\alpha$ -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 12

NTE cyclic

SEQ 1 ALRGGGGRGD LD

=== ==

HITS AT: 1-3, 8-12

MF C45 H76 N18 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 11 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-70-4 REGISTRY

CN Cyclo[[2-(2-aminoethoxy)ethoxy]acetyl-L-arginylglycyl-L-α-aspartyl-Lleucyl-D-α-aspartyl-L-alanyl-L-leucyl-L-arginyl] (9CI) (CA INDEX
NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 9

NTE cyclic

type ----- location ----- description

uncommon Oaa-4 - - - Stereo Asp-9 - D

SEQ 1 ALRXRGDLD === ===== HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H75 N15 O15

SR CA

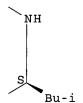
LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

PAGE 1-C



1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 12 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN
RN 317366-69-1 REGISTRY
CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-L-arginylglycyl-L-α-aspartyl-L-leucyl-D-α-aspartyl) (9CI) (CA INDEX NAME)
FS PROTEIN SEQUENCE; STEREOSEARCH
SQL 9
NTE cyclic

type ----- location ----- description
uncommon Oaa-4 - -

SEQ 1 ALRXRGDLD === ====

HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H75 N15 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 13 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-68-0 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-6-aminohexanoyl-L-

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Page 17
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 $arginylglycyl-L-\alpha-aspartyl-L-leucyl-D-\alpha-aspartyl)$ (9CI) (CA INDEX NAME) PROTEIN SEQUENCE; STEREOSEARCH

FS

SQL 10 NTE cyclic

----- location ----description type

uncommon 0aa-4 uncommon 0aa-5

1 ALRXXRGDLD

HITS AT: 1-3, 6-10

MF C49 H86 N16 O14

SR CA

LCSTN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE) 1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

1: 134:86549 REFERENCE

ANSWER 14 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN L3317366-65-7 REGISTRY RNCyclo(L-alanyl-L-leucyl-L-arginylglycyl-L-arginylglycyl-L-α-aspartyl-CN

L-leucyl-L-α-aspartyl) (9CI) (CA INDEX NAME) PROTEIN SEQUENCE; STEREOSEARCH FS

SQL

NTÉ cyclic

SEQ 1 ALRGRGDLD === ==== HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C39 H67 N15 O13

SR CA

CA, CAPLUS LC STN Files:

DT.CA CAplus document type: Patent

Roles from patents: BIOL (Biological study); PREP (Preparation); USES RL.P (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 15 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-64-6 REGISTRY

CN Cyclo(L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L-α-aspartyl-L-leucyl-L-α-aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 13

NTE cyclic

SEQ 1 RGGGRTDLDG L

: ====== **=**

HITS AT: 1, 5-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C44 H75 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 16 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-63-5 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRTDL D

=== =====

HITS AT: 1-3, 7-11

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RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C45 H77 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 17 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-62-4 REGISTRY

 ${\tt CN} \qquad {\tt Cyclo}\,({\tt L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginylglycylglycylglycylglycyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginylglycylglycylglycylglycyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-arginyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-threonyl-L-threonyl-L-}\alpha-{\tt aspartyl-L-threonyl$

L-leucyl-D- α -aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 RGGGRTDLDG L

= ========

HITS AT: 1, 5-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C44 H75 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 18 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-61-3 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRTDL D

=== ==== =

HITS AT: 1-3, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C45 H77 N17 O16

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Me
$$\frac{H}{N}$$
 $\frac{(CH_2)_3}{S}$ $\frac{N}{H}$ $\frac{H}{N}$ \frac{H}

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O H NH2

H NH2

H NH2

H NH2

NH

S NH

NH

S NH

CO2H
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1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

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L3 ANSWER 19 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN
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RN 317366-60-2 REGISTRY

CN Cyclo(β -alanyl- β -alanyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl-L-alanyl-L-leucyl-L-arginyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10 NTE cyclic

type ----- location ----- description

type ------ description

uncommonBal-4--uncommonBal-5--

SEQ 1 ALRXXRGDLD === =====

HITS AT: 1-3, 6-10 MF C43 H74 N16 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 20 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-59-9 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 14

NTE cyclic

SEQ 1 ALRGGGGGGR GDLD

=== = ====

HITS AT: 1-3, 10-14 MF C49 H82 N20 O18

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

Absolute stereochemistry.

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1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 21 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-58-8 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 11

NTE cyclic

SEQ 1 ALRGGGRGDL D

=== ==== =

HITS AT: 1-3, 7-11

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C43 H73 N17 O15

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

Absolute stereochemistry.

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PAGE 1-C

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 22 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-57-7 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 10

NTE cyclic

SEQ 1 ALRGGRGDLD

=== ====

HITS AT: 1-3, 6-10 MF C41 H70 N16 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

Absolute stereochemistry.

PAGE 1-A

PAGE 1-B

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 23 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-56-6 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 9

NTE cyclic

SEQ 1 ALRGRGDLD === ===== HITS AT: 1-3, 5-9

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C39 H67 N15 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Conference; Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

RL.NP Roles from non-patents: BIOL (Biological study); PREP (Preparation)

2 REFERENCES IN FILE CA (1907 TO DATE)

2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 138:385705

REFERENCE 2: 134:86549

L3 ANSWER 24 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-54-4 REGISTRY

CN Cyclo(L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -

aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 RRGDLDGL

======

HITS AT: 1, 2-8

MF C36 H62 N14 O12

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES

(Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 25 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-53-3 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginylglycyl-L-α-aspartyl-L-

leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 ALRRGDLD

HITS AT: 1-3, 4-8

MF C37 H64 N14 O12

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 26 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-52-2 REGISTRY

 ${\tt CN} \qquad {\tt Cyclo}\,({\tt L-arginyl-L-arginylglycyl-L-}\alpha-{\tt aspartyl-L-leucyl-D-}\alpha-{\tt aspartyl-D-}\alpha-{\tt aspartyl-D-}\alpha-{\tt aspartyl-L-leucyl-D-}\alpha-{\tt aspartyl-D-}\alpha-{\tt as$

aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEO 1 RRGDLDSL

=======

HITS AT: 1, 2-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C37 H64 N14 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 27 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-51-1 REGISTRY

CN Cyclo(L-arginyl-L-arginylglycyl-L-α-aspartyl-L-leucyl-L-α-

aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 RRGDLDSL

;

HITS AT: 1, 2-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C37 H64 N14 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 28 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-50-0 REGISTRY

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 ALRRTDLD

=======

HITS AT: 1-3, 4-8 MF C39 H68 N14 O13

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

$$H_{2N}$$
 H_{2N}
 H

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 29 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-49-7 REGISTRY

CN Cyclo(L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 RRTDLDSL

======

HITS AT: 1, 2-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C39 H68 N14 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

$$H_{2N}$$
 H_{2N}
 H

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

L3 ANSWER 30 OF 30 REGISTRY COPYRIGHT 2005 ACS on STN

RN 317366-48-6 REGISTRY

CN Cyclo(L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

FS PROTEIN SEQUENCE; STEREOSEARCH

SQL 8

NTE cyclic

SEQ 1 RRTDLDSL

=======

HITS AT: 1, 2-8

RELATED SEQUENCES AVAILABLE WITH SEQLINK

MF C39 H68 N14 O14

SR CA

LC STN Files: CA, CAPLUS

DT.CA CAplus document type: Patent

RL.P Roles from patents: BIOL (Biological study); PREP (Preparation); USES (Uses)

$$H_{2}N$$
 $H_{2}N$
 $H_{2}N$
 $H_{3}N$
 $H_{4}N$
 $H_{5}N$
 $H_{5}N$
 $H_{6}N$
 $H_{7}N$
 H

1 REFERENCES IN FILE CA (1907 TO DATE)

1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

REFERENCE 1: 134:86549

- => fil medl, biosis, embase, caplus;

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SINCE FILE TOTAL ENTRY SESSION 224.79 225.00

FULL ESTIMATED COST

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=> s zischinsky g?/au;s groth u?/au;s diefenbach b?/au;s jonczyk a?/au

L4 0 FILE MEDLINE
L5 0 FILE BIOSIS
L6 0 FILE EMBASE
L7 8 FILE CAPLUS

TOTAL FOR ALL FILES

L8 8 ZISCHINSKY G?/AU

L9 28 FILE MEDLINE
L10 56 FILE BIOSIS
L11 33 FILE EMBASE
L12 89 FILE CAPLUS

L13

TOTAL FOR ALL FILES

206 GROTH U?/AU

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10 FILE MEDLINE
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L15
L16
          9 FILE EMBASE
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L17
TOTAL FOR ALL FILES
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L20
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          72 FILE EMBASE
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TOTAL FOR ALL FILES
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L24 0 FILE MEDLINE
L25
           0 FILE BIOSIS
           0 FILE EMBASE
L26
           4 FILE CAPLUS
L27
TOTAL FOR ALL FILES
L28
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L29 0 FILE MEDLINE
L30
           0 FILE BIOSIS
           O FILE EMBASE
L31
           1 FILE CAPLUS
TOTAL FOR ALL FILES
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=> d ibib abs hitseq
L33 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:45035 CAPLUS
DOCUMENT NUMBER:
                      134:86549
TITLE:
                      Preparation of cyclic peptides for use as inhibitors
                      of integrin ανβ6
INVENTOR(S):
                       Jonczyk, Alfred; Diefenbach, Beate; Goodman, Simon
PATENT ASSIGNEE(S):
                      Merck Patent G.m.b.H., Germany
                       Ger. Offen., 20 pp.
SOURCE:
                       CODEN: GWXXBX
DOCUMENT TYPE:
                       Patent
LANGUAGE:
                       German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO.
                       KIND
                             DATE
                                       APPLICATION NO.
                                                         DATE
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Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

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                                 20010125
                                             WO 2000-EP6188
                                                                     20000703
     WO 2001005810
                          A3
                                 20010517
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             MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI,
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             AZ, BY, KG, KZ, MD, RU, TJ, TM
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OTHER SOURCE(S):
                         MARPAT 134:86549
     Title compds. cyclo(Arg-X1-Asp-X2-X3-X4-X5-X6-R1) [(I); X1 = Ser, Gly,
     Thr; X2 = Leu, Ile, Nle, Val, Phe; X3 = Asp, Glu, Lys, Phe; X4 = Gly, Ala,
     Ser; X5 = Leu, Ile, Nle, Val, Phe; X6 = Arg, Har, Lys; R1 = absent, one or
     more ω-amino-carboxy acid residues; all amino acids may be either D-
     or L-configuration] were prepared using solid-phase peptide synthesis and
     tested for activity as integrin ανβ6 inhibitors for therapeutic
           Thus thirty-three I compds. were synthesized on chlorotrityl-
     polystyrol resin and tested for their binding capacities with the
     \alpha v \beta 6 fibronectin receptor. Q-values for the tests (Q = IC50
     I/IC50 reference peptide) (reference peptide =
Ac-Arg-Thr-Asp-Leu-Asp-Ser-Leu-Arg-
     NH2; 75 nM) ranged from 233 to 0.014.
     317366-48-6P 317366-49-7P 317366-50-0P
     317366-51-1P 317366-52-2P 317366-53-3P
     317366-54-4P 317366-56-6P 317366-57-7P
     317366-58-8P 317366-59-9P 317366-60-2P
     317366-61-3P 317366-62-4P 317366-63-5P
     317366-64-6P 317366-65-7P 317366-68-0P
     317366-69-1P 317366-70-4P 317366-71-5P
     317366-72-6P 317366-73-7P 317366-74-8P
     317366-75-9P 317366-76-0P 317366-77-1P
     317366-78-2P 317366-79-3P 317366-80-6P
     RL: BAC (Biological activity or effector, except adverse); BSU (Biological
     study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);
     BIOL (Biological study); PREP (Preparation); USES (Uses)
        (preparation of cyclic peptides for use as inhibitors of integrin
        \alpha v\beta 6 in treatment of)
RN
     317366-48-6 CAPLUS
     Cyclo (L-arginyl-L-arginyl-L-threonyl-L-\alpha-aspartyl-L-leucyl-L-\alpha-
CN
     aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)
NTE
    cyclic
         1 RRTDLDSL
SEQ
```

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Absolute stereochemistry.

$$H_{2N}$$
 H_{2N}
 H

RN 317366-49-7 CAPLUS

CN Cyclo(L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RRTDLDSL

Absolute stereochemistry.

$$H_{2N}$$
 H_{2N}
 H

RN 317366-50-0 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

SEQ 1 ALRRTDLD

Absolute stereochemistry.

RN 317366-51-1 CAPLUS

CN Cyclo(L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RRGDLDSL

RN 317366-52-2 CAPLUS

CN Cyclo(L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl-L-seryl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RRGDLDSL

RN 317366-53-3 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRRGDLD

RN 317366-54-4 CAPLUS

CN Cyclo(L-arginyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RRGDLDGL

RN 317366-56-6 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGRGDLD

RN 317366-57-7 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGRGDLD

PAGE 1-A

PAGE 1-B

RN 317366-58-8 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRGDL D

$$(CH_2)_3$$

$$NH_2$$

$$NH_2$$

$$NH_2$$

$$NH_3$$

$$NH_4$$

$$NH_2$$

$$NH_4$$

$$NH_2$$

$$NH_4$$

$$NH_2$$

$$NH_4$$

$$NH_2$$

$$NH_4$$

$$NH_2$$

RN 317366-59-9 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyll-L-arginylglycyl-L-a-aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGGGGR GDLD

RN 317366-60-2 CAPLUS

CN Cyclo(β -alanyl- β -alanyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L-arginyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXXRGDLD

RN 317366-61-3 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRTDL D

RN 317366-62-4 CAPLUS

CN Cyclo(L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGGGRTDLDG L

RN 317366-63-5 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRTDL D

RN 317366-64-6 CAPLUS

CN Cyclo(L-arginylglycylglycylglycyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGGGRTDLDG L

RN 317366-65-7 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGRGDLD

RN 317366-68-0 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-6-aminohexanoyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXXRGDLD

RN 317366-69-1 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXRGDLD

Absolute stereochemistry.

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

Me
$$H_{N}$$
 H_{N} H

RN 317366-70-4 CAPLUS

CN Cyclo[[2-(2-aminoethoxy)ethoxy]acetyl-L-arginylglycyl-L-α-aspartyl-Lleucyl-D-α-aspartyl-L-alanyl-L-leucyl-L-arginyl] (9CI) (CA INDEX
NAME)

NTE cyclic

SEQ 1 ALRXRGDLD

RN 317366-71-5 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycyl-L-arginylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGGRGD LD

Absolute stereochemistry.

PAGE 1-A

RN 317366-72-6 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycylglycylglycylglycylglycylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGGGRG DLD

PAGE 1-A

PAGE 1-C

RN 317366-73-7 CAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGDLDGLRGG G

PAGE 1-A

PAGE 1-B

RN 317366-74-8 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginylglycylglycylglycyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRGGGRGDL D

RN 317366-75-9 CAPLUS

CN Cyclo(L-arginylglycyl-L- α -aspartyl-L-leucyl-L- α -aspartylglycyl-L-leucyl-L-arginylglycylglycylglycyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 RGDLDGLRGG G

RN 317366-76-0 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXRTDLD

Absolute stereochemistry.

PAGE 1-A

$$H_2N$$
 HN
 $CCH_2)_3$
 $CH_2)_3$
 $CH_2)_4$
 $CH_2)_3$
 $CH_2)_4$
 $CH_2)_3$
 $CH_2)_4$
 $CH_2)_4$
 $CH_2)_4$
 $CH_2)_5$
 CH_2
 $CH_$

RN 317366-77-1 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXRTDLD

Absolute stereochemistry.

PAGE 1-A

RN 317366-78-2 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-L-arginylglycyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXRGDLD

RN 317366-79-3 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-6-aminohexanoyl-6-aminohexanoyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXXRTDLD

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PAGE 1-B

RN 317366-80-6 CAPLUS

CN Cyclo(L-alanyl-L-leucyl-L-arginyl-4-aminobutanoyl-4-aminobutanoyl-L-arginyl-L-threonyl-L- α -aspartyl-L-leucyl-D- α -aspartyl) (9CI) (CA INDEX NAME)

NTE cyclic

SEQ 1 ALRXXRTDLD

PAGE 1-A

PAGE 1-B

L36 0 FILE EMBASE L37 0 FILE CAPLUS

TOTAL FOR ALL FILES

L38 0 L3 NOT (L8 OR L13 OR L18 OR L23)

=> dis his

L1

(FILE 'HOME' ENTERED AT 08:31:16 ON 30 NOV 2005)

FILE 'REGISTRY' ENTERED AT 08:31:25 ON 30 NOV 2005

296 S R[SGT]D[LIXVF][DEKF][GAS][LIXVF][RXK]/SQSP

L2 27096 S CYCLIC/NTE L3 30 S L1 AND L2

FILE 'MEDLINE, BIOSIS, EMBASE, CAPLUS' ENTERED AT 08:33:23 ON 30 NOV 2005

Prepared by: Mary Hale @2-2507 Rem Bldg 1D86

```
Page 66
L4
             O FILE MEDLINE
L5
             O FILE BIOSIS
L6
             O FILE EMBASE
L7
             8 FILE CAPLUS
    TOTAL FOR ALL FILES
L8
             8 S ZISCHINSKY G?/AU
L9
            28 FILE MEDLINE
L10
            56 FILE BIOSIS
L11
            33 FILE EMBASE
L12
            89 FILE CAPLUS
    TOTAL FOR ALL FILES
L13
          206 S GROTH U?/AU
            10 FILE MEDLINE
L14
            22 FILE BIOSIS
L15
             9 FILE EMBASE
L16
L17
            44 FILE CAPLUS
    TOTAL FOR ALL FILES
L18
           85 S DIEFENBACH B?/AU
L19
            34 FILE MEDLINE
L20
            71 FILE BIOSIS
L21
            72 FILE EMBASE
L22
           270 FILE CAPLUS
    TOTAL FOR ALL FILES
L23
          447 S JONCZYK A?/AU
L24
             O FILE MEDLINE
L25
             0 FILE BIOSIS
L26
            0 FILE EMBASE
L27
             4 FILE CAPLUS
    TOTAL FOR ALL FILES
L28
             4 S L8 AND L13 AND L18 AND L23
L29
             O FILE MEDLINE
L30
             0 FILE BIOSIS
L31
             0 FILE EMBASE
L32
             1 FILE CAPLUS
    TOTAL FOR ALL FILES
L33
             1 S L3 NOT L28
L34
             O FILE MEDLINE
L35
             0 FILE BIOSIS
L36
             0 FILE EMBASE
L37
             0 FILE CAPLUS
    TOTAL FOR ALL FILES
L38
             0 S L3 NOT (L8 OR L13 OR L18 OR L23)
=> log y
                                                SINCE FILE
COST IN U.S. DOLLARS
                                                                TOTAL
                                                     ENTRY SESSION
FULL ESTIMATED COST
                                                     24.08
                                                              249.08
                                                SINCE FILE TOTAL ENTRY SESSION
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)
CA SUBSCRIBER PRICE
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                                                              -0.73
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STN INTERNATIONAL LOGOFF AT 08:36:47 ON 30 NOV 2005